1. Use of a compound for the manufacture of a medicament for the treatment of viral infections, wherein the compound is a compound of formula

$$Q = \begin{bmatrix} R^1 \\ N \\ A \end{bmatrix} \begin{bmatrix} a^1 \\ a^2 \\ A \end{bmatrix}$$
 (I)

a prodrug, N-oxide, addition salt, quaternary amine, metal complex or stereochemically isomeric form thereof, wherein

-a¹=a²-a³=a⁴- represents a bivalent radical of formula

-CH=CH-CH+CH-

(a-1);

-N=CH-CH=CH-

(a-2);

-CH=N-CH=CH

(a-3);

-CH=CH-N=CH-

(a-4); or

-CH=CH-CH=N-

(a-5);

wherein each hydrogen atom in the radicals (a-1), (a-2), (a-3), (a-4) and (a-5) may optionally be replaced by halo, C₁₋₆alkyl, nitro, amino, hydroxy,

C₁₋₆alkyloxy, polyhaloC₁₋₆alkyl, carboxyl, aminoC₁₋₆alkyl, mono- or di(C₁₋₄alkyl)aminoC₁₋₆alkyl, C₁₋₆alkyloxycarbonyl, hydroxyC₁₋₆alkyl, or a radical of formula

wherein =Z is =O, =CH-C(= $^{\circ}$)-NR^{5a}R^{5b}, =CH₂, =CH-C₁₋₆alkyl, =N-OH or =N-O-C₁₋₆alkyl;

Q is a radical of formula

 $(CH_2)_v$ $(CH_2)_v$ $(CH_2)_v$ (b-5) (b-6) (b-7) (b-8)

wherein Alk is C₁₋₆alkanediyl;

Sub

÷

10

15

20

10

15

20

Y is a bivalent radical of formula $-NR^2$ - or $-CH(NR^2R^4)$ -; X^1 is NR^4 , S, S(=O), S(=O)₂, O, CH₂, C(=O), C(=CH₂), CH(OH), CH(CH₃), CH(OCH₃), CH(SCH₃), CH(NR^{5a}R^{5b}), CH₂-NR⁴ or NR⁴-CH₂; X^2 is a direct bond, CH₂, C(=O), NR⁴, C₁₋₄alkyl-NR⁴, NR⁴-C₁₋₄alkyl; t is 2, 3, 4 or 5; u is 1, 2, 3, 4 or 5; v is 2 or 3; and

whereby each hydrogen atom in Alk and the carbocycles and the heterocycles defined in radicals (b-3), (b-4), (b-5), (b-6), (b-7) and (b-8) may optionally be replaced by R³; with the proviso that when R³ is hydroxy or C₁₋₆alkyloxy, then R³ can not replace a hydrogen atom in the α position relative to a nitrogen atom;

G is a direct bond or C₁₋₁₀alkanediyl;

R¹ is a monocyclic heterocycle selected from piperidinyl, piperazinyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, pyrrolyl, furanyl, tetrahydrofuranyl, thienyl, oxazolyl, thiazolyl, imidazolyl, pyrazolyl, isoxazolyl, oxadiazolyl, and isothiazolyl; and each heterocycle may optionally be substituted with 1 or where possible more, such as 2, 3 or 4, substituents selected from halo, hydroxy, amino, cyano, carboxy, C₁₋₆alkyl, C₁₋₆alkyloxy, C₁₋₆alkylthio, C₁₋₆alkyloxyC₁₋₆alkyl, aryl, arylC₁₋₆alkyl, arylC₁₋₆alkyl, arylC₁₋₆alkyl, arylC₁₋₆alkyl, mono-or di(C₁₋₆alkyl)amino, mono-or di(C₁₋₆alkyl)aminoC₁₋₆alkyl, polyhaloC₁₋₆alkyl, C₁₋₆alkylcarbonylamino, C₁₋₆alkyl-SO₂-NR^{5c}-, aryl-SO₂-NR^{5c}-, C₁₋₆alkyloxycarbonyl, -C(=O)-NR^{5c}R^{5d}, HO(-CH₂-CH₂-O)_n-, halo(-CH₂-CH₂-O)_n-, C₁₋₆alkyloxy(-CH₂-CH₂-O)_n-, arylC₁₋₆alkyloxy(-CH₂-CH₂-O)_n-, and mono-or di(C₁₋₆alkyl)amino(-CH₂-CH₂-O)_n-;

each n independently is 1, 2, 3 or 4;

- R² is hydrogen, formyl, C₁₋₆alkylcarbonyl, Hetcarbonyl, pyrrolidinyl, piperidinyl, homopiperidinyl, C₃₋₇cycloalkyl substituted with N(R⁶)₂, or C₁₋₁₀alkyl substituted with N(R⁶)₂ and optionally with a second, third or fourth substituent selected from amino, hydroxy, C₃₋₇cycloalkyl, C₂₋₅alkanediy, piperidinyl, mono-or di(C₁₋₆alkyl)amino, C₁₋₆alkyloxycarbonylamino, aryl and aryloxy;
- R³ is hydrogen, hydroxy, C₁₋₆alkyl, C₁₋₆alkyloxy, arylC₁₋₆alkyl or arylC₁₋₆alkyloxy;
 R⁴ is hydrogen, C₁₋₆alkyl or arylC₁₋₆alkyl;
 R^{5a}, R^{5b}, R^{5c} and R^{5d} each independently are hydrogen or C₁₋₆alkyl; or
 R^{5a} and R^{5b}, or R^{5c} and R^{5d} taken together form a bivalent radical of formula -(CH₂)_s-wherein s is 4 or 5;
- R⁶ is hydrogen, C₁₋₄alkyl, formyl, hydroxyC₁₋₆alkyl, C₁₋₆alkylcarbonyl or C₁₋₆alkyloxycarbonyl;

15

20

5

arylis phenyl or phenyl substituted with 1 or more, such as 2, 3 or 4, substituents selected from halo, hydroxy, C₁₋₆alkyl, hydroxyC₁₋₆alkyl, polyhaloC₁₋₆alkyl, and C₁₋₆alkyloxy;

Het is pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl.

2. A compound of formula (I')

$$Q = \begin{bmatrix} R^1 & & & \\ & & & \\ N & & & \\ & & & A^2 \end{bmatrix}_{3}^{a^2} \qquad (I')$$

a prodrug, N-oxide\ addition salt, quaternary amine, metal complex or stereochemically isomeric form thereof, wherein

 $-a^1=a^2-a^3=a^4$ represents a radical of formula

$$(a-1);$$

$$(a-2);$$

$$(a-3);$$

$$(a-5);$$

wherein each hydrogen atom in the radicals (a-1), (a-2), (a-3), (a-4) and (a-5) may optionally be replaced by halo, C₁₋₆alkyl, nitro, amino, hydroxy,

C₁₋₆alkyloxy, polyhaloC₁₋₆alkyl, carboxyl, aminoC₁₋₆alkyl, mono- or $di(C_{1-4}alkyl)aminoC_{1-6}alkyl, C_{1-6}alkyloxycarbonyl, hydroxyC_{1-6}alkyl, or a$

radical of formula

wherein =Z is =O, =CH-C(\rightleftharpoons O)-NR^{5a}R^{5b}, =CH₂, =CH-C₁₋₆alkyl, =N-OH or $=N-O-C_{1-6}$ aikyl;

Q is a radical of formula

$$R^{4} \downarrow R^{2} - N - Alk - X^{1} - R^{2} - N - C(=0)$$

$$R^2$$
— N $(CH_2)_1$

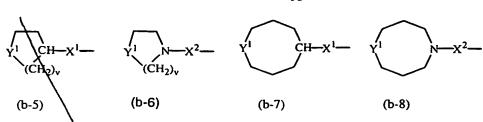
$$Y^{1}$$
 $(CH_{2})_{u}$
 X^{1}

(b-1) 25

(b-2)

(b-3)

(b-4)



wherein Alk is C1-6alkanediyl;

Y¹ is a bivalent radical of formula $-NR^2$ - or $-CH(NR^2R^4)$ -; X¹ is NR^4 , S, S(=O), S(=O)₂, O, CH₂, C(=O), C(=CH₂), CH(OH), CH(CH₃), CH(OCH₃), CH(SCH₃), CH(NR^{5a}R^{5b}), CH₂-NR⁴ or NR⁴-CH₂; X² is a direct bond, CH₂, C(=O), NR⁴, C₁₋₄alkyl-NR⁴, NR⁴-C₁₋₄alkyl; t is 2, 3, 4 or 5; u is 1, 2, 3, 4 or 5; v is 2 or 3; and

whereby each hydrogen atom in Alk and the carbocycles and the heterocycles defined in radicals (b-3), (b-4), (b-5), (b-6), (b-7) and (b-8) may optionally be replaced by R³; with the proviso that when R³ is hydroxy or C₁₋₆alkyloxy, then R³ can not replace a hydrogen atom in the α position relative to a nitrogen atom;

G is a direct bond or C₁₋₁₀alkanediyl;

- R¹ is a monocyclic heterocycle selected from pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, pyrrolyl, imidazolyl and pyrazolyl; and each heterocycle may optionally be substituted with 1 or where possible more, such as 2, 3 or 4, substituents selected from halo, hydroxy, amino, cyano, carboxy, C₁₋₆alkyl, C₁₋₆alkyloxy, C₁₋₆alkylthio, C₁₋₆alkyloxyC₁₋₆alkyl, arylC₁₋₆alkyl, arylC₁₋₆alkyloxy, hydroxyC₁₋₆alkyl, mono-or di(C₁₋₆alkyl)amino, mono-or di(C₁₋₆alkyl)aminoC₁₋₆alkyl, polyhaloC₁₋₆alkyl, C₁₋₆alkyl-carbonylamino, C₁₋₆alkyl-SO₂-NR^{3c}-, aryl-SO₂-NR^{5c}-, C₁₋₆alkyloxycarbonyl, -C(=O)-NR^{5c}R^{5d}, HO(-CH₂-CH₂-O)_n-, halo(CH₂-CH₂-O)_n-, C₁₋₆alkyloxy(-CH₂-CH₂-O)_n-, arylC₁₋₆alkyloxy(-CH₂-CH₂-O)_n- and mono-or di(C₁₋₆alkyl)amino(-CH₂-CH₂-O)_n-; each n independently is 1, 2, 3 or 4;
- R² is hydrogen, formyl, pyrrolidinyl, piperidinyl, homopiperidinyl, C₃₋₇cycloalkyl substituted with N(R⁶)₂, or C₁₋₁₀alkyl substituted with N(R⁶)₂ and optionally with a second, third or fourth substituent selected from amino, hydroxy, C₃₋₇cycloalkyl, C₂₋₅alkanediyl, piperidinyl, mono-or di(C₁₋₆alkyl)amino, C₁₋₆alkyloxycarbonylamino, aryl and aryloxy;
- R³ is hydrogen, hydroxy, C₁₋₆alkyl, C₁₋₆alkyloxy, arylC₁₋₆alkyl or arylC₁₋₆alkyloxy; R⁴ is hydrogen, C₁₋₆alkyl or arylC₁₋₆alkyl; R^{5a}, R^{5b}, R^{5c} and R^{5d} each independently are hydrogen or C₁₋₆alkyl; or

15

R^{5a} and R^{5b}, or R^{5c} and R^{5d} taken together form a bivalent radical of formula -(CH₂)_s-wherein s is 4 or 5;

- R⁶ is hydrogen, C₁₋₄alkyl, formyl, hydroxyC₁₋₆alkyl, C₁₋₆alkylcarbonyl or C₁₋₆alkyloxycarbonyl;
- aryl is phenyl or phenyl substituted with 1 or more, such as 2, 3 or 4, substituents selected from halo, hydroxy, C₁₋₆alkyl, hydroxyC₁₋₆alkyl, polyhaloC₁₋₆alkyl, and C₁₋₆alkyloxy;

provided that when G is methylene, and R¹ is 2-pyridyl, 3-pyridyl, 6-methyl-2-pyridyl, 2-pyrazinyl or 5-methyl-imidazol-4-yl, and -a¹=a²-a³=a⁴- is -CH=CH-CH=CH- or -N=CH-CH=CH-, then Q is other than

$$H_{1} = H_{1} = H_{2} = H_{2$$

3. A compound as claimed in claim 2 wherein the following restrictions apply:

when Q is
$$R^2 - N$$
 $X^1 - X^1$

wherein X^1 is NR^4 , O, S, S(=O), $S(=O)_2$, CH_2 , C(=O), $C(=CH_2)$ or $CH(CH_3)$, then R^1 is other than pyridyl, pyridyl substituted with C_{1-6} alkyl, pyrimidinyl, pyrazinyl, imidazolyl and imidazolyl substituted with C_{1-6} alkyl.

- 4. A compound as claimed in claim 2 wherein the following restrictions apply:

 when Q is $R^2 N$
- wherein X^1 is NR⁴, O, S, S(=O), S(=O)₂, CH₂, C(=O), C(=CH₂) or CH(CH₃), then R¹ is other than pyridyl, pyridyl substituted with C₁₋₆alkyl, pyridyl substituted with 1 or 2 C₁₋₆alkyloxy, pyrazinyl, pyrrolyl, pyrrolyl substituted with C₁₋₆alkyl, imidazolyl and imidazolyl substituted with C₁₋₆alkyl.
- 5. A compound as claimed in claim 2 wherein the following restrictions apply:

 when Q is R²—N

20

wherein X^1 is NR⁴, O, S, S(=O), S(=O)₂, CH₂, C(=O), C(=CH₂) or CH(CH₃), then R is other than pyridyl, pyridyl substituted with C₁₋₆alkyl, pyrimidinyl, pyrazinyl, imidazolyl and imidazolyl substituted with C₁₋₆alkyl.

5 6. A compound as claimed in claim 2 wherein the following restrictions apply:

when Q is R²—N N—CH₂—

then R^1 is other than pyridyl, pyrimidinyl, pyrazinyl, imidazolyl and imidazolyl substituted with C_{1-6} alkyl.

7. A compound as claimed in claim 2 wherein the following restrictions apply:

when Q is R^2 $N-X^2$

wherein X^2 is CH_2 or a direct bond, then R^1 is other than pyridyl, pyridyl substituted with C_1 alkyl, pyrimidinyl, pyrazinyl, imidazolyl substituted with C_{1-6} alkyl.

hydroxypropyl)amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol; N-[1-

- 25 (2-aminoethyl)-4-piperidinyl]-1-[[3-(2-ethoxyethoxy)-6-methyl-2-pyridinyl]methyl]-1H-benzimidazol-2-amine tetrahydrochloride dihydrate; (±)-N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(2-chloro-1,4-dimethyl-1H-imidazol-5-yl)methyl]-1H-benzimidazol-2-amine; (±)-N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-6-chloro-1-[(2-chloro-1,4-dimethyl-1H-imidazol-5-yl)methyl]-1H-
- benzimidazol-2-amine; (±)-*N*-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-6-methyl-1-[(6-methyl-2-pyridinyl)methyl]-*1H*-benzimidazol-2-amine; (±)-*N*-[1-(2-aminopropyl)-4-piperidinyl]-1-[(3,5,6-trimethylpyrazinyl)methyl]-*1H*-benzimidazol-2-amine tetrahydrochloride trihydrate; (±)-*N*-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(3,5,6-trimethylpyrazinyl)methyl]-*1H*-
- benzimidazol-2-amine; N-[1-(2-aminoethyl)]+4-piperidinyl]-1-[[3-(2-chloroethoxy)-

50b A1

5

10010202 122701

15

20

6-methyl-2-pyridinyl]methyl]-1H-benzimidazol-2-amine trihydrochloride dihydrate; (±)-N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[3-amino-2pyridinyl)methyl]-1H-benzimidazol-2-amine tetrahydrochloride trihydrate; 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol tetrahydrochloride; (±)-2-[[2-[[1-(2-amino-3-methylbutyl)-4piperidinyl]amino]-7-methyl-3H-imidazo[4,5-b]pyridin-3-yl]methyl]-6-methyl-3pyridinol 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-6-chloro-4-methyl-1Hbenzimidazol-1-yl]methyl]-6-methyl-3-pyridinol tetrahydrochloride 2-propanolate (1:1); $(\pm)-2$ [[2-[[1-(2-amino-3-methylbutyl)-4-piperidinyl]amino]-4-methyl-IHbenzimidazo\[-1-yl]methyl]-6-methyl-3-pyridinol; (±)-2-[[2-[[1-(2-aminopropyl)-4piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol tetrahydrochlor\de trihydrate; 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-7methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol tetrahydrochloride dihydrate; 2-[[2-[[\dagger-(2-aminoethyl)-4-piperidinyl]amino]-6-bromo-4-methyl-1Hbenzimidazol-1-yl]methyl]-6-methyl-3-pyridinol tetrahydrochloride; 2-[[2-[[1-(2aminoethyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-3pyridinol tetrahydrochloride monohydrate; (±)-2-[[2-[[1-(2-amino-3methylbutyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-3pyridinol; (±)-N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-4-methyl-1-[(6methyl-2-pyridinyl)methyl \[\frac{1}{H}\]-benzimidazol-2-amine; a prodrug, N-oxide, addition salt, quaternary amine, metal complex and stereochemically isomeric forth thereof.

9. A compound selected from

2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-5-chloro-7-methyl-1H-25 benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol tetrahydrochloride tetrahydrate; N-[1-(2-aminoethyl)-4-piperidinyl]-1\[(2,4-dimethyl-5-oxazolyl)methyl]-IHbenzimidazol-2-amine; N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2,5-dimethyl-4oxazolyl)methyl]-1H-benzimidazol-2-amine trihydrochloride monohydrate; 4-[[3-30 [[5-(methoxymethyl)-2-furanyl]methyl]-3H-imidazo[4,5-b]pyridine-2-yl]methyl]-1-piperidineetanamine; N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(5-methyl-3isoxazolyl)methyl]-1H-benzimidazol-2-amine trihydrochloride monohydrate; N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]-1Hbenzimidazol-2-amine monohydrate; N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-35 methyl-5-oxazolyl)methyl]-1H-benzimidazol-2\amine trihydrochloride monohydrate; N-[1-(2-aminoethyl)-4-piperidinyl)-3-[(2,4-dimethyl-5oxazolyl)methyl]-3H-imidazo[4,5-b]pyridin-2-amine; 4-[[3-[(2-methyl-5-

10

15

20

35

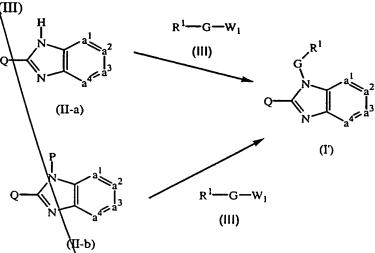
\data\text{\argumenta}\ $N_{1-(2-\text{aminoethyl})-4-\text{piperidinyl}-1-(4-\text{thiazolylmethyl})-1H-\text{benzimidazol}-2$ amme; N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(5-phenyl-1,2,4-oxadiazol-3yl)mathyl]-1H-benzimidazol-2-amine trihydrochloride; 5-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino-IH-benzimidazol-1-yl]methyl-2-oxazolemethanol tetrahydrochloride dihydrate; N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(3-methyl-5isoxazolyl)methyl]-1H-benzimidazol-2-amine trihydrochloride monohydrate; 4-[[1-[[2-(dimethylamino)-4-thiazolyl]methyl]-1H-benzimidazol-2-yl]methyl]-1piperidineethanamine tetrahydrochloride monohydrate 2-propanolate (1:1); ethyl 5-[[2-[[1-[2-[[\(1,1-\)]]amino]ethyl]-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-2-methyl-4-oxazolecarboxylate; 4-[[1-[(2-methyl-4thiazolyl)methyl, 1H-benzimidazol-2-yl]methyl]-1-piperidineethanamine; N-[1-(2aminoethyl)-4-piperidinyl]-1-[(2-methyl-3-furanyl)methyl]-1H-benzimidazol-2amine; ethyl 4-[[3-](3-hydroxy-6-methyl-2-pyridinyl)methyl]-7-methyl-3Himidazo[4,5-b]pyridine-2-yl]amino]-1-piperidinecarboxylate; 1,1-dimethylethyl 4-[[1-[[3-[2-(dimethylarkino)ethoxy]-6-methyl-2-pyridinyl]methyl]-1Hbenzimidazol-2-yl]amino-1-piperidinecarboxylate; ethyl 4-[[1-[(3-amino-2pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinecarboxylate; N-[1-(6methyl-2-pyridinyl)-1H-benzimidazol-2-yl]-1-(3-pyridinylcarbonyl)-4piperidinamine; a prodrug, N-oxide, addition salt, quaternary amine, metal complex and stereochemically isomeric form thereof.

- 25 10. A compound as claimed in anyone of claims 2 to 9 for use as a medicine.
 - 11. Use of a compound as claimed in claim 9 for the manufacture of a medicament for the treatment of viral infections.
- 12. Use of a compound according to claim or 11 wherein said viral infection is a respiratory syncytial virus infection.
 - 13. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and as active ingredient a therapeutically effective amount of a compound as claimed in claim 2 or claim 9.
 - 14. A process of preparing a composition as claimed in claim 13 characterized in that a pharmaceutically acceptable carrier is intimately mixed with a therapeutically effective amount of a compound as claimed in claim 2 or claim 9.

HOCHORDR LEBYD1

5

A process of preparing a compound as claimed in claim 2, characterized by reacting an intermediate of formula (II-a) or (II-b) with an intermediate of formula a)



with R¹, G, \Diamond and $-a^1=a^2-a^3=a^4$ defined as in claim 2, and W₁ being a suitable leaving group in the presence of a suitable base and in a suitable reaction-inert solvent;

deprotecting an intermediate of formula (IV) 10

$$P = Q_1 = \begin{bmatrix} R^1 \\ Q \\ N \end{bmatrix} \begin{bmatrix} A^1 \\ A^2 \end{bmatrix} \begin{bmatrix} A^2 \\ A^3 \end{bmatrix}$$

$$H = Q_1 \begin{bmatrix} A^1 \\ N \end{bmatrix} \begin{bmatrix} A^1 \\ A^2 \end{bmatrix} \begin{bmatrix} A^1 \\ A^3 \end{bmatrix}$$

$$(IV)$$

$$(I'-a)$$

with R^1 , G, and $-a^1=a^2-a^3=a^4$ defined as in claim 2, H-Q₁ being defined as Q according to claim 2 provided that R² or at least one R⁶ substituent is hydrogen, and P being a protective group;

15

deprotecting and reducing an intermediate of formula (IV-a)

P—Q_{1a}(CH=CH)
$$\stackrel{Q}{\longrightarrow}$$
 $\stackrel{A^{1}}{\longrightarrow}$ $\stackrel{A^{2}}{\longrightarrow}$ $\stackrel{A$

with R^1 , G, and $-a^1=a^2-a^3=a^4$ - defined as in claim 2, H-Q₁ being defined as Q according to claim 2 provided that R² or at least one R⁶ substituent is hydrogen, Q_{1a}(CH=CH) being defined as Q₁ provided that Q₁ comprises an unsaturated bond, and P being a protective group

d) deprotecting an intermediate of formula (V)

$$Q_{2} = \begin{pmatrix} R^{1} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & &$$

with R^1 , G, and $a^1=a^2-a^3=a^4$ - defined as in claim 2, and H_2N-Q_2 being defined as Q according to claim 2 provided that both R^6 substituents are hydrogen or R^2 and R^4 are both hydrogen;

e) deprotecting an intermediate of formula (VI)

with R^1 , G, and $-a^1=a^2-a^3=a^4$ defined as in claim 2, and H_2N-Q_2 being defined as Q according to claim 2 provided that both R^6 substituents are hydrogen or R^2 and R^4 are both hydrogen, and P being a protective group;

f) deprotecting an intermediate of formula (VII) or (VIII)

$$P = Q_{1} \cdot (OP) = \begin{pmatrix} R^{1} & & & \\ &$$

with R^1 , G, and $-a^1=a^2-a^3=a^4$ defined as in claim 2, H- Q_1 -(OH) being defined as Q according to claim 2 provided that R^2 or at least one R^6 substituent is hydrogen and provided that Q comprises a hydroxy moiety, H_2N - Q_2 -(OH) being defined as Q

15

ADDECE ABETSA

10

15

20

25

according to claim 2 provided that both R⁶ substituents are hydrogen or R² and R⁴ are both hydrogen and provided that Q comprises a hydroxy moiety, and P being a protective group;

g) amination of an intermediate of formula (IX)

(O=)Q₃—
$$R^1$$
 amination H_2N — Q_3H — N — A_4 — A_3 (I'-a-1-2)

with R^1 , G, and $-a^1=a^2-a^3=a^4$ defined as in claim 2, and H_2N-Q_3H being defined as Q according to claim 2 provided that both R⁶ substituents are hydrogen or R² and R⁴ are both hydrogen, and the carbon adjacent to the nitrogen carrying the R⁶, or R² and R⁴ substituents contains at least one hydrogen, in the presence of a suitable amination reagent;

reducing an intermediate of formula (X)

NC-Q₄

$$R^1$$
 R^1
 R^2
 R^1
 R^2
 R^1
 R^2
 $R^$

with R¹, G, and -a¹=a²-a³=a⁴- defined as in claim 2, and H₂N-CH₂-Q₄ being defined as Q according to claim 2 provided that Q comprises a -CH2-NH2 moiety, in the presence of a suitable reducing agent;

reducing an intermediate of formula (X-a) C₁-6alkyl--OH

NC-Q₄

$$\begin{array}{c}
R^{1} - C_{1} - 6alkyl - OH \\
NC-Q_{4} - A_{1} - C_{1} - 6alkyl - OH
\end{array}$$

$$\begin{array}{c}
R^{1} - C_{1} - 6alkyl - OH \\
NC-Q_{4} - A_{2} - A_{3} - A_{4} - A_{3} - A_{4} - A_{4}$$

with G, and $-a^1=a^2-a^3=a^4$ defined as in claim 2, H_2N_1 CH₂-Q₄ being defined as Q according to claim 2 provided that Q comprises a -CH2NH2 moiety, and R1 being defined as R¹ according to claim 2 provided that it comprises at least one substituent, in the presence of a suitable reducing agent and suitable solvent;

amination of an intermediate of formula (XI) j)

10

15

20

with R^1 , G, and $-a^1=a^2-a^3=a^4$ defined as in claim 2, and H_2N -CH₂-CHOH-CH₂-Q₄ being defined as Q according to claim 2 provided that Q comprises a CH₂-CHOH-CH₂-NH₂ moiety, in the presence of a suitable amination reagent;

k) reacting an intermediate of formula (XII) with formic acid, formamide and ammonia

$$C_{1^{-4}alkyl} - C_{1^{-4}alkyl} - C_{1^{-4}a$$

with R^1 , G, and $-a^1=a^2-a^3=a^4$ defined as in claim 2, and H-C(=O)- Q_1 being defined as Q according to claim 2 provided that R^2 or at least one R^6 substituent is formyl;

l) amination of an intermediate of formula (XIII) by reaction with an intermediate of formula (XIV)

$$(O=)Q_{5} \xrightarrow{N} A^{1} A^{2} A^{2} A^{3} + R^{2a} NH_{2} A^{2a} A^{2a} NH_{2} NH_{2} A^{2a} NH_{2} A^{2a} NH_{2} A^{2a} NH_{2} A^{2a} NH_{2} NH_{2} A^{2a} N$$

with R¹, G, and -a¹=a²-a³=a⁴- defined as in claim 2, and R^{2a}-NH-HQ₅ being defined as Q according to claim 2 provided that R² is other than hydrogen and is represented by R^{2a}, R⁴ is hydrogen, and the carbon atom adjacent to the nitrogen atom carrying the R² and R⁴ substituents, carries also at least one hydrogen atom, in the presence of a suitable reducing agent;

m) reducing an intermediate of formula (XV)

5 J/2 / A'

with R^1 , G, and $-a^1=a^2-a^3=a^4$ - defined as in claim 2, and $(R^6)_2N$ - $[(C_1.9alkyl)CH_2OH]$ -NH- HQ_5 being defined as Q according to claim 2 provided that R^2 is other than hydrogen and is represented by $C_{1.10}$ alkyl substituted with $N(R_6)_2$ and with hydroxy, and the carbon atom carrying the hydroxy, carries also two hydrogen atoms, and provided that R^4 is hydrogen, and the carbon atom adjacent to the narrogen atom carrying the R^2 and R^4 substituents, carries also at least one hydrogen atom, with a suitable reducing agent;

10

5

n) deprotecting an intermediate of formula (XVI), (XVI-a) or (XVI-b)

with G, and $-a^1=a^2-a^3=a^4$ defined as in claim 2, and $R - Q_1$ being defined as Q according to claim 2 provided that R^2 or at least one R^6 substituent is hydrogen,

ران 'A 5

10

LECHURGE LERVIL

and R^{1a} - $(A-O-H)_w$, $R^{1a'}$ - $(A-O-H)_2$ and $R^{1a''}$ - $(A-O-H)_3$ being defined as R^1 according to claim 2 provided that R^1 is substituted with hydroxy, hydroxy C_{1-6} alkyl, or $HO(-CH_2-CH_2-O)_n$ -, with w being an integer from 1 to 4 and R or R^1 being a suitable protecting group, with a suitable acid.

o) amination of an intermediate of formula (XVII)

$$C_{1^{-4}alkyl} - O - C_{1^{-4}alkyl} - O$$

with R^1 , G, $-a^1=a^2-a^3=a^4$ -, Alk, X^1 R^2 and R^4 defined as in claim 2, in the presence of a suitable amination agent;

p) amination of an intermediate of formula (XIX)

H—C—C₁₋₃alkyl—NR⁴

$$(XIX)$$

$$(XX)$$

$$Q_6$$

$$(I'-p)$$

with R^1 , G, and $-a^1=a^2-a^3=a^4$ defined as in claim 2, and Q_6N - CH_2 - C_{1-3} alkyl- NR^4 being defined as Q according to claim 2 provided that in the definition of Q, X^2 is C_{2-4} alkyl- NR^4 , in the presence of a suitable amination agent;

and, if desired, converting compounds of formula (I') into each other following art-known transformations, and further, if desired, converting the compounds of formula (I'), into a therapeutically active non-toxic acid addition salt by treatment with an acid, or into a therapeutically active non-toxic base addition salt by treatment with a base, or conversely, converting the acid addition salt form into the free base by treatment with alkali, or converting the base addition salt into the free acid by treatment with acid; and, if desired, preparing stereochemically isomeric forms, metal complexes, quaternary amines or N-oxide forms thereof.

15

<u>, t</u>

- 16. A product containing (a) a compound as defined in claim 2 or 9, and (b) another antiviral compound, as a combined preparation for simultaneous, separate or sequential use in the treatment or the prevention of viral infections.
- 17. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and as active ingredients (a) a compound as defined in claim 2 or 9, and (b) another antiviral compound.